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NEWS	3	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	4	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	5	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	6	FEB 28	MEDLINE/LMEDLINE reloaded
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NEWS	8	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22	PATDPASPC - New patent database available
NEWS	13	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags

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FILE 'HOME' ENTERED AT 16:33:34 ON 31 MAR 2005

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'CAPLUS' ENTERED AT 16:33:42 ON 31 MAR 2005

FILE COVERS 1907 - 31 Mar 2005 VOL 142 ISS 14
FILE LAST UPDATED: 30 Mar 2005 (20050330/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s celecoxib
L1          1505 CELECOXIB

=> s l1 and amorphous
          240510 AMORPHOUS
               5 AMORPHOUSES
          240514 AMORPHOUS
                    (AMORPHOUS OR AMORPHOUSES)
L2            13 L1 AND AMORPHOUS

=> s l2 and calorimetry
           54049 CALORIMETRY
              21 CALORIMETRIES
           54060 CALORIMETRY
                    (CALORIMETRY OR CALORIMETRIES)
L3             4 L2 AND CALORIMETRY

=> s l2 and glass transition
          664609 GLASS
          127989 GLASSES
          692699 GLASS
                    (GLASS OR GLASSES)
          877497 TRANSITION
          244147 TRANSITIONS
          984065 TRANSITION
                    (TRANSITION OR TRANSITIONS)
           72521 GLASS TRANSITION
                    (GLASS(W)TRANSITION)
L4             4 L2 AND GLASS TRANSITION

=> s l2 and py<=1999
        19743562 PY<=1999
L5             0 L2 AND PY<=1999

=> d l4 ibib abs hitstr tot
```

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:250983 CAPLUS
TITLE: Molecular interactions in **celecoxib** -PVP-meglumine **amorphous** system
AUTHOR(S): Gupta, Piyush; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology (Formulations), National Institute of Pharmaceutical Education and Research (NIPER), S.A.S. Nagar, 160 062, India
SOURCE: Journal of Pharmacy and Pharmacology (2005), 57(3), 303-310
CODEN: JPPMAB; ISSN: 0022-3573
PUBLISHER: Pharmaceutical Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Stabilization of the **amorphous** form of a drug is conferred by additives that interact with it at the mol. level. Ternary systems of **celecoxib**, poly(vinyl pyrrolidone) (PVP) and meglumine were studied for mol. interactions responsible for enhanced drug stability and solubility in **amorphous** form. Meglumine was found to lower the **glass transition** temperature (Tg) of the drug due to its plasticization effect. However, the presence of PVP masked its destabilizing effect and provided net anti-plasticization to the **celecoxib**-PVP-meglumine (7:2:1 weight/weight) ternary **amorphous** system. Pos. deviation of the exptl. determined Tg mix value for this composition, from those predicted by the Gordon-Taylor/Kelley-Bueche equation, inferred mol. interaction between the three species, which was also supported by band shifts from their Fourier-transform infra-red (FTIR) spectra. Further, shift of differential scanning calorimetry (DSC) melting endotherms of **celecoxib** in its **amorphous** systems from those observed for crystalline **celecoxib** confirmed the complexation between these components, which was also substantiated by mol. modeling studies that showed H-bonding of -S=O, 2-N of the pyrazole ring and -C-F groups of **celecoxib** with -O-H group of meglumine. These mol. interactions of **amorphous celecoxib** with meglumine were found to be the potential cause for enhanced stability and solubility of the **celecoxib**-PVP-meglumine ternary system.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:861964 CAPLUS
DOCUMENT NUMBER: 142:183060
TITLE: Stability and Solubility of **Celecoxib**-PVP **Amorphous** Dispersions: A Molecular Perspective
AUTHOR(S): Gupta, Piyush; Kakumanu, Vasu Kumar; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology (Formulations), National Institute of Pharmaceutical Education and Research, Punjab, 160 062, India
SOURCE: Pharmaceutical Research (2004), 21(10), 1762-1769
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Springer Science+Business Media, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
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transition temps., and enthalpy relaxation was performed using differential scanning calorimetry. Solubility and dissolns. studies were conducted at 37°C to elucidate release mechanisms. Further, the **amorphous** systems were characterized by polarized light microscopy and x-ray powder diffraction studies. The PVP content has a prominent effect on the stability and solubility profiles of **amorphous** systems. A dispersion of 20% weight/weight PVP with CEL resulted in a maxima in terms of solubility enhancement and lowering of relaxation enthalpy. The release of drug from **amorphous** mol. dispersions was found to be drug-dependent and independent of the carrier. The solubility enhancement and enthalpy relaxation studies with respect to PVP concentration helped in a better prediction of role of carrier and optimization of concentration in the use of solid dispersions or **amorphous** systems. The drug release mechanism is drug-controlled rather than carrier-controlled.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:782310 CAPLUS
DOCUMENT NUMBER: 141:319758
TITLE: Physical Stability and Solubility Advantage from **Amorphous Celecoxib**: The Role of Thermodynamic Quantities and Molecular Mobility
AUTHOR(S): Gupta, Piyush; Chawla, Garima; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology (Formulations), National Institute of Pharmaceutical Education and Research, Punjab, 160062, India
SOURCE: Molecular Pharmaceutics (2004), 1(6), 406-413
CODEN: MPOHBP; ISSN: 1543-8384
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Glassy pharmaceuticals, characterized by excess thermodn. properties, are theor. more soluble than their crystalline counterparts. The practical solubility advantage of the **amorphous** form of **celecoxib** (CEL) is lost due to its proclivity to lose energy and undergo solvent-mediated devitrification. Theor. assessment of solubility advantage using differences in isobaric heat capacities (Cp) revealed a 7-21-fold enhancement in the solubility of the **amorphous** form over that of the crystalline state of CEL. The present study attempts to unveil these differences between exptl. and theor. solubility using thermodn. parameters such as free energy, enthalpy, and entropy. **Amorphous** CEL exhibited 1.3-1.5 times enhancement in Cp over that for the crystalline form. The zero and critical mol. mobility regions, represented by Kauzmann temperature (TK) and **glass transition** temperature (Tg), were found to lie near 246 and 323 K, resp., for **amorphous** CEL. The fictive temperature (Tf), an indicator of the configurational entropy of glass, was determined for glassy CEL, signifying the retention of considerable mol. mobility in the glassy phase that may favor nucleation even below Tg. Further, the estimation of various thermodn. quantities and strength/fragility parameters (D = 11.5 and m = 67.0) postulated the classification of glassy CEL into moderately fragile liquid, as per Angell's classification. A comprehensive understanding of such thermodn. facets of **amorphous** form would help in rationalizing the approaches toward development of stable glassy pharmaceuticals with adequate solubility advantage.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:940035 CAPLUS
DOCUMENT NUMBER: 139:169110
TITLE: Enthalpy Relaxation Studies of **Celecoxib** **Amorphous** Mixtures
AUTHOR(S): Kakumanu, Vasu Kumar; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology, National Institute of Pharmaceutical Education and Research, Punjab, 160 062, India
SOURCE: Pharmaceutical Research (2002), 19(12), 1873-1878
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The purpose of this study was to compare the structural relaxation and mol. mobility of **amorphous celecoxib** (CEL) with that of CEL **amorphous** mixts. consisting of various excipients and to study the effect of different excipients on the relaxation of high-energy **amorphous** systems. The measurement of **glass transition** temps. (Tg) and enthalpy relaxation were performed using differential scanning calorimetry. The interactions between drug and excipients and the absence of crystalline forms were further confirmed by conducting Fourier transform IR spectroscopic and x-ray powder diffraction studies on same samples. All samples exhibited a single Tg value. Polymers had a prominent effect on the lowering of the relaxation rate in **amorphous** CEL. The lowering of the rate of relaxation was directly dependent on the concentration and type of polymer used. The total enthalpy required for relaxation was same, although additives affected the rate of relaxation. In absence of any specific interactions during Fourier transform IR studies, it was concluded that the anti-plasticizing activity of polymers is responsible for the stabilization of CEL **amorphous** systems. Glassy **amorphous** dispersions of CEL exhibited a complex type of relaxation pattern, which failed to fit in Kohlrausch-Williams-Watts equation with respect to calcn. of relaxation time consts.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l3 ibib abs hitstr tot

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:250983 CAPLUS
TITLE: Molecular interactions in **celecoxib** -PVP-meglumine **amorphous** system
AUTHOR(S): Gupta, Piyush; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology (Formulations), National Institute of Pharmaceutical Education and Research (NIPER), S.A.S. Nagar, 160 062, India
SOURCE: Journal of Pharmacy and Pharmacology (2005), 57(3), 303-310
CODEN: JPPMAB; ISSN: 0022-3573
PUBLISHER: Pharmaceutical Press
DOCUMENT TYPE: Journal
LANGUAGE: English
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additives that interact with it at the mol. level. Ternary systems of **celecoxib**, poly(vinyl pyrrolidone) (PVP) and meglumine were studied for mol. interactions responsible for enhanced drug stability and solubility in **amorphous** form. Meglumine was found to lower the glass transition temperature (Tg) of the drug due to its plasticization effect. However, the presence of PVP masked its destabilizing effect and provided net anti-plasticization to the **celecoxib**-PVP-meglumine (7:2:1 weight/weight) ternary **amorphous** system. Pos. deviation of the exptl. determined Tg mix value for this composition, from those predicted by the Gordon-Taylor/Kelley-Bueche equation, inferred mol. interaction between the three species, which was also supported by band shifts from their Fourier-transform infra-red (FTIR) spectra. Further, shift of differential scanning **calorimetry** (DSC) melting endotherms of **celecoxib** in its **amorphous** systems from those observed for crystalline **celecoxib** confirmed the complexation between these components, which was also substantiated by mol. modeling studies that showed H-bonding of -S=O, 2-N of the pyrazole ring and -C-F groups of **celecoxib** with -O-H group of meglumine. These mol. interactions of **amorphous celecoxib** with meglumine were found to be the potential cause for enhanced stability and solubility of the **celecoxib**-PVP-meglumine ternary system.

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:241234 CAPLUS
TITLE: Complexation of **celecoxib** with β-cyclodextrin: characterization of the interaction in solution and in solid state
AUTHOR(S): Sinha, V. R.; Anitha, R.; Ghosh, S.; Nanda, A.; Kumria, R.
CORPORATE SOURCE: University Institute of Pharmaceutical Sciences, Panjab University, Chandigarh, 160014, India
SOURCE: Journal of Pharmaceutical Sciences (2005), 94(3), 676-687
CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Inclusion complexation between **celecoxib**, a specific cyclooxygenase II inhibitor, and beta-cyclodextrin (β-CD) was studied in solution and solid state. Drug cyclodextrin complexes were prepared by spray drying while phys. mixts. were obtained by simple blending. Inclusion complexes were characterized by NMR spectroscopy (NMR), differential scanning **calorimetry** (DSC), X-ray diffractometry (XRD), SEM (SEM), IR spectroscopy (IR), and polarimetry. Phase solubility anal. was carried out to determine the stability constant Solubility studies revealed the existence of a 1:1 complex between **celecoxib** and β-CD. NMR studies suggested a strong interaction between **celecoxib** and β-CD prepared by spray drying. XRD and SEM anal. illustrated that **celecoxib** existed as an **amorphous** complexed form in spray-dried complexes. Dissoln. studies showed that the **celecoxib** entrapped in spray-dried complexes dissolved much faster than the uncomplexed drug and phys. mixts. The data obtained suggest that **celecoxib** forms an inclusion complex with β-CD in solution and solid state, which was confirmed by various anal. techniques. A shorter t50% of dissoln. is found for the formulation prepared by spray drying when compared on a weight basis in a USP II apparatus
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:861964 CAPLUS
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AUTHOR(S): Gupta, Piyush; Kakumanu, Vasu Kumar; Bansal, Arvind K.
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SOURCE: Pharmaceutical Research (2004), 21(10), 1762-1769
CODEN: PHREEB; ISSN: 0724-8741
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DOCUMENT NUMBER: 139:169110
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CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
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=> d 12 ibib abs hitstr tot

L2 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:250983 CAPLUS

TITLE: Molecular interactions in **celecoxib** -PVP-meglumine **amorphous** system

AUTHOR(S): Gupta, Piyush; Bansal, Arvind K.

CORPORATE SOURCE: Department of Pharmaceutical Technology (Formulations), National Institute of Pharmaceutical Education and Research (NIPER), S.A.S. Nagar, 160 062, India

SOURCE: Journal of Pharmacy and Pharmacology (2005), 57(3), 303-310
CODEN: JPPMAB; ISSN: 0022-3573

PUBLISHER: Pharmaceutical Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Stabilization of the **amorphous** form of a drug is conferred by additives that interact with it at the mol. level. Ternary systems of **celecoxib**, poly(vinyl pyrrolidone) (PVP) and meglumine were studied for mol. interactions responsible for enhanced drug stability and solubility in **amorphous** form. Meglumine was found to lower the glass transition temperature (Tg) of the drug due to its plasticization effect. However, the presence of PVP masked its destabilizing effect and provided net anti-plasticization to the **celecoxib**-PVP-meglumine (7:2:1 weight/weight) ternary **amorphous** system. Pos. deviation of the exptl. determined Tg mix value for this composition, from those predicted by the Gordon-Taylor/Kelley-Bueche equation, inferred mol. interaction between the three species, which was also supported by band shifts from their Fourier-transform infra-red (FTIR) spectra. Further, shift of differential scanning calorimetry (DSC) melting endotherms of **celecoxib** in its **amorphous** systems from those observed for crystalline **celecoxib** confirmed the complexation between these components, which was also substantiated by mol. modeling studies that showed H-bonding of -S=O, 2-N of the pyrazole ring and -C-F groups of **celecoxib** with -O-H group of meglumine. These mol. interactions of **amorphous celecoxib** with meglumine were found to be the potential cause for enhanced stability and solubility of the **celecoxib**-PVP-meglumine ternary system.

L2 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

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CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

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L2 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:861964 CAPLUS
DOCUMENT NUMBER: 142:183060
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AUTHOR(S): Gupta, Piyush; Kakumanu, Vasu Kumar; Bansal, Arvind K.
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CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Springer Science+Business Media, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

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L2 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:782310 CAPLUS
DOCUMENT NUMBER: 141:319758
TITLE: Physical Stability and Solubility Advantage from **Amorphous Celecoxib**: The Role of Thermodynamic Quantities and Molecular Mobility
AUTHOR(S): Gupta, Piyush; Chawla, Garima; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology (Formulations), National Institute of Pharmaceutical Education and Research, Punjab, 160062, India
SOURCE: Molecular Pharmaceutics (2004), 1(6), 406-413
CODEN: MPOHBP; ISSN: 1543-8384
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Glassy pharmaceuticals, characterized by excess thermodn. properties, are theor. more soluble than their crystalline counterparts. The practical solubility advantage of the **amorphous** form of **celecoxib** (CEL) is lost due to its proclivity to lose energy and undergo solvent-mediated devitrification. Theor. assessment of solubility advantage using differences in isobaric heat capacities (Cp) revealed a 7-21-fold enhancement in the solubility of the **amorphous** form over that of the crystalline state of CEL. The present study attempts to unveil these differences between exptl. and theor. solubility using thermodn. parameters such as free energy, enthalpy, and entropy. **Amorphous** CEL exhibited 1.3-1.5 times enhancement in Cp over that for the crystalline form. The zero and critical mol. mobility regions, represented by Kauzmann temperature (TK) and glass transition temperature (Tg), were found to lie near 246 and 323 K, resp., for **amorphous** CEL. The fictive temperature (Tf), an indicator of the configurational entropy of glass, was determined for glassy CEL, signifying the retention of considerable mol. mobility in the glassy phase that may favor nucleation even below Tg. Further, the estimation of various thermodn. quantities and strength/fragility parameters (D = 11.5 and m = 67.0) postulated the classification of glassy CEL into moderately fragile liquid, as per Angell's classification. A comprehensive understanding of such thermodn. facets of **amorphous** form would help in rationalizing the approaches toward development of stable glassy pharmaceuticals with adequate solubility advantage.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:698147 CAPLUS
DOCUMENT NUMBER: 141:212774
TITLE: Lipophilic compositions containing therapeutic agents with low water solubility
INVENTOR(S): Leigh, Mathew Louis Steven; Van Hoogevest, Peter; Quinton, Jacques; Leigh, Steve
PATENT ASSIGNEE(S): Phares Pharmaceutical Research N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004071494	A2	20040826	WO 2004-EP1355	20040213
WO 2004071494	A3	20041216		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-250900 A 20030213

AB There is described dry compns. comprising lipophilic compds. associated with low viscosity grades of water-insol. polymer and optionally hydrophilic agents associated either as monomol. or **amorphous** complexes. There is also described a method of preparing said lipophilic polymer complexes from a solution or homogeneous dispersion employing either water miscible or immiscible organic solvents. The lipophilic polymer complex is precipitated from the solution comprising a water miscible solvent by dilution with water, separating out the precipitated complex, washing, drying and conversion to oral and topical dosage forms. The lipophilic polymer complex may also be prepared by solvent removal involving spray drying or vacuum drying under elevated temps. using either water miscible or water immiscible solvents. The compns. are characterized by improved dissoln. and solubility of the associated compound in aqueous medium. For example, nifedipine 100 and Et cellulose 100 mg were dissolved in 10 mL NMP. The clear solution was added to a ten-fold excess of water. The resulting precipitate was collected and washed with water. The wet mass was dried for 8 h at 30° in a vacuum oven. The resulting free-flowing fine powder was used to fill gelatin capsules for oral administration.

L2 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:120620 CAPLUS
DOCUMENT NUMBER: 140:169621
TITLE: Stable **amorphous celecoxib**

composite and process therefor
INVENTOR(S): Zhuang, Hong; Gao, Ping
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 17 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004030151	A1	20040212	US 2003-431853	20030508
US 6864373	B2	20050308		
WO 2004041243	A2	20040521	WO 2003-US14563	20030508
WO 2004041243	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1505987	A2	20050216	EP 2003-799770	20030508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-379968P P 20020513
WO 2003-US14563 W 20030508

AB A process is provided for preparing a **celecoxib**-crystallization inhibitor composite wherein at least a detectable amount of **celecoxib** is in **amorphous** form. Also provided are compns. prepared according to such a process. Also provided is a method of treating a medical condition or disorder in a subject where treatment with a cyclooxygenase-2 inhibitor is indicated, comprising administering, for example orally, a composition of the invention in a therapeutically effective amount A mixture containing **celecoxib** 500 μg/mL, ethanol 1%, HPMC 2.5%, and water q.s. 100% was prepared and stirred at room temperature for 21 days, the precipitate was then removed from the mixture by filtration and washed with water. The precipitate was then analyzed using X-Ray diffraction to show there was no evidence of any crystalline material. The data suggested that **celecoxib** in precipitate separated from the mixture was **amorphous.**

L2 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:848649 CAPLUS
DOCUMENT NUMBER: 140:362805
TITLE: Characterization of solid-state forms of **celecoxib**
AUTHOR(S): Chawla, Garima; Gupta, Piyush; Thilagavathi, R.; Chakraborti, Asit K.; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology (Formulations), National Institute of Pharmaceutical Education and Research, Nagar, 160 062, India
SOURCE: European Journal of Pharmaceutical Sciences (2003), 20(3), 305-317

CODEN: EPSCED; ISSN: 0928-0987
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This study deals with the generation and characterization of various solid-state forms of **celecoxib**, a selective cyclooxygenase-2 (COX-2) inhibitor. The drug was subjected to polymorphic screen using different solvents to explore the possibility of existence of different solid forms. N,N-Di-Me acetamide (DMA) and N,N-DMF (DMF) yielded solvates in 1:1 stoichiometric ratio. Quench cooling of the melt resulted in **amorphous** form of the drug. All these solid-state forms were characterized by thermoanal. (DSC, TGA, HSM), crystallog. (XRD), microscopic (polarized, SEM), spectroscopic (FTIR), and elemental anal. techniques. Solubility and van't Hoff studies were carried out for their thermodn. interpretation. Influence of morphol. of different solid-state forms on flow behavior was also investigated. Mol. modeling studies were used to elucidate the interaction between solute and solvent mols. in the solvate.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:837584 CAPLUS
DOCUMENT NUMBER: 139:328445
TITLE: Process for obtaining **amorphous** solid pharmaceutical particles
INVENTOR(S): Perrut, Michel; Jung, Jennifer; Leboeuf, Fabrice
PATENT ASSIGNEE(S): Separex, Fr.
SOURCE: Fr. Demande, 30 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2838654	A1	20031024	FR 2002-5046	20020423
FR 2838654	B1	20041105		
WO 2003090668	A2	20031106	WO 2003-FR1255	20030418
WO 2003090668	A3	20040415		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2002-5046 A 20020423
AB The present invention relates to a process of obtaining **amorphous** solid pharmaceutical particles with a controlled particle size. This process comprises dissolving the product within a compressed fluid, followed by atomization by an inert gas, and maintaining the atomization chamber at a temperature much lower than the temperature of vitreous transition of the
product, preferentially at least 30° below this temperature to produce

the desired particles. By using compressed CO2, particles of desired particle size of **celecoxib** can be obtained.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:940035 CAPLUS
DOCUMENT NUMBER: 139:169110
TITLE: Enthalpy Relaxation Studies of **Celecoxib** **Amorphous** Mixtures
AUTHOR(S): Kakumanu, Vasu Kumar; Bansal, Arvind K.
CORPORATE SOURCE: Department of Pharmaceutical Technology, National Institute of Pharmaceutical Education and Research, Punjab, 160 062, India
SOURCE: Pharmaceutical Research (2002), 19(12), 1873-1878
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Kluwer Academic/Plenum Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The purpose of this study was to compare the structural relaxation and mol. mobility of **amorphous celecoxib** (CEL) with that of CEL **amorphous** mixts. consisting of various excipients and to study the effect of different excipients on the relaxation of high-energy **amorphous** systems. The measurement of glass transition temps. (Tg) and enthalpy relaxation were performed using differential scanning calorimetry. The interactions between drug and excipients and the absence of crystalline forms were further confirmed by conducting Fourier transform IR spectroscopic and x-ray powder diffraction studies on same samples. All samples exhibited a single Tg value. Polymers had a prominent effect on the lowering of the relaxation rate in **amorphous** CEL. The lowering of the rate of relaxation was directly dependent on the concentration and type of polymer used. The total enthalpy required for relaxation was same, although additives affected the rate of relaxation. In absence of any specific interactions during Fourier transform IR studies, it was concluded that the anti-plasticizing activity of polymers is responsible for the stabilization of CEL **amorphous** systems. Glassy **amorphous** dispersions of CEL exhibited a complex type of relaxation pattern, which failed to fit in Kohlrausch-Williams-Watts equation with respect to calcn. of relaxation time consts.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:754995 CAPLUS
DOCUMENT NUMBER: 137:268473
TITLE: Porous drug matrices and methods of manufacture thereof
INVENTOR(S): Straub, Julie; Altreuter, David; Bernstein, Howard; Chickering, Donald E.; Khattak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U. S. 6,395,300.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 2002142050	A1	20021003	US 2002-53929	20020122
US 6395300	B1	20020528	US 1999-433486	19991104
US 6645528	B1	20031111	US 2000-694407	20001023
ZA 2001010347	A	20030730	ZA 2001-10347	20011218
US 2005048116	A1	20050303	US 2004-924642	20040824
US 2005058710	A1	20050317	US 2004-928886	20040827
PRIORITY APPLN. INFO.:			US 1999-136323P	P 19990527
			US 1999-158659P	P 19991008
			US 1999-433486	A2 19991104
			US 2002-53929	A3 20020122

AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or second solution and hydrophilic or hydrophobic excipients that stabilize the drug and inhibit crystallization, and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. Hydrophobic or hydrophilic excipients may be selected to stabilize the drug in crystalline form by inhibiting crystal growth or to stabilize the drug in **amorphous** form by preventing crystallization The pore forming agent can be either a volatile liquid that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Thus, 5.46 g of PEG 8000, 0.545 g of prednisone, and 0.055 g of Span 40 were dissolved in 182 mL of methylene chloride. A solution of 3.27 g of ammonium bicarbonate in 18.2 mL of water was added to the organic solution (phase ratio 1:10) and homogenized for 5 min at 16,000 RPM. The resulting emulsion was spray dried on a benchtop spray dryer using an air-atomizing nozzle and nitrogen as the drying gas.

L2 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:676581 CAPLUS
DOCUMENT NUMBER: 135:216023
TITLE: Micronized pharmaceutical sulfonamides or sulfones
INVENTOR(S): Reverchon, Ernesto
PATENT ASSIGNEE(S): Eco2 S.A., Switz.
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066090	A1	20010913	WO 2001-CH131	20010301
W: AU, CA, CN, IL, JP, NZ, SG, US, ZA				

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
EP 1263412 A1 20021211 EP 2001-905573 20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR
PRIORITY APPLN. INFO.: CH 2000-422 A 20000304
WO 2001-CH131 W 20010301

AB By means of the action of a supercrit. fluid (SCF), for example supercrit. carbon dioxide (SCCO2), substances of pharmaceutical use are precipitated in form of **amorphous** or semicryst. particles of micrometric or submicrometric dimensions. Said substances would most typically be sulfonamides or sulfones such as Nimesulide, dissolved in an organic solvent such as 1-methyl-2-pyrrolidone (NMP) or dimethylsulfoxide (DMSO). The process parameters are such as to maximize the solubility of the organic solvent in the SCF and minimize the solubility of the substance to be micronized in the SCF. The **amorphous** or semicryst. state of the particles so obtained, allow one to enhance the pharmacokinetics of the substance. For example, by means of the supercrit. antisolvent technique **amorphous** or semicryst. Nimesulide particles were produced. The substance was dissolved preferably in 1-methyl-2-pyrrolidone (NMP). The resulting solution should possess a concentration of 0.1-100 mg/mL, preferably 10 mg/mL. The solution was fed into the chamber at a flow rate of 0.1-10 mL/min, preferably at 1 mL/min, at a d. of 1100 kg/m3, in quantities ranging from 20 to 50 mL, preferably 30 mL. The antisolvent, preferably carbon dioxide, is fed into the chamber at a flow rate of 1000-10,000 mL (gas STP)/min, preferably at 8000 mL (gas STP)/min, at a pressure of 78-400 bar, preferably 85 bar, and at a temperature of 30-60°, preferably 40°. The resulting ratio between flow rate of solvent and flow rate of antisolvent is 1.25 E-04. The product was finally washed by passing only antisolvent through the chamber for a period of time ranging from 60 to 100 min, preferably 80 min. The yield of recovered Nimesulide (300 mg) was > 95%.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:435052 CAPLUS
DOCUMENT NUMBER: 135:37203
TITLE: Solid-state form of **celecoxib** having enhanced bioavailability
INVENTOR(S): Hageman, Michael J.; He, Xiaorong; Kararli, Tugrul T.; Mackin, Lesley A.; Miyake, Patricia J.; Rohrs, Brian R.; Stefanski, Kevin J.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001042221	A1	20010614	WO 2000-US32435	20001206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

NZ 514059	A	20040227	NZ 2000-514059	20001201
US 2004087640	A1	20040506	US 2000-728040	20001201
AU 2001019311	A5	20010618	AU 2001-19311	20001206
EP 1150959	A1	20011107	EP 2000-982255	20001206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002006951	A1	20020117	US 2000-730663	20001206
BR 2000008058	A	20020326	BR 2000-8058	20001206
JP 2004500358	T2	20040108	JP 2001-543522	20001206
NZ 513964	A	20040130	NZ 2000-513964	20001206
NZ 513960	A	20040227	NZ 2000-513960	20001206
NO 2001003855	A	20011005	NO 2001-3855	20010808
ZA 2001007146	A	20020829	ZA 2001-7146	20010829
ZA 2001007148	A	20021129	ZA 2001-7148	20010829
ZA 2001007149	A	20030228	ZA 2001-7149	20010829
ZA 2002007445	A	20031013	ZA 2002-7445	20020917
PRIORITY APPLN. INFO.:			US 1999-169856P P	19991209
			US 2000-730663 A	20001206
			WO 2000-US32435 W	20001206

AB The selective cyclooxygenase-2 inhibitory drug **celecoxib** is provided in **amorphous** form. Also provided is a **celecoxib**-crystallization inhibitor composite comprising particles of **amorphous celecoxib** or a **celecoxib** drug substance of the invention in intimate association with one or more crystallization inhibitors, for example polymers. Also provided is a pharmaceutical composition comprising such a **celecoxib**-crystallization inhibitor composite and one or more excipients. **Celecoxib** drug substance and polymer composites with HPMC and PVP were prepared by spray drying. Also provided is a method of treating a medical condition or disorder in a subject where treatment with a cyclooxygenase-2 inhibitor is indicated, comprising administering, for example orally, a composition of the invention in a therapeutically effective amount

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:434782 CAPLUS
DOCUMENT NUMBER: 135:37187
TITLE: Solid-state form of **celecoxib** having enhanced bioavailability
INVENTOR(S): Hageman, Michael J.; He, Xiaorong; Kararli, Tugrul T.; Mackin, Lesley A.; Miyake, Patricia J.; Rohrs, Brian R.; Stefanski, Kevin J.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001041536	A2	20010614	WO 2000-US30180	20001204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
NZ 514059	A	20040227	NZ 2000-514059	20001201
US 2004087640	A1	20040506	US 2000-728040	20001201
AU 2001020412	A5	20010618	AU 2001-20412	20001204
US 2002006951	A1	20020117	US 2000-730663	20001206
NZ 513964	A	20040130	NZ 2000-513964	20001206
NZ 513960	A	20040227	NZ 2000-513960	20001206
ZA 2001007146	A	20020829	ZA 2001-7146	20010829
ZA 2001007148	A	20021129	ZA 2001-7148	20010829
ZA 2001007149	A	20030228	ZA 2001-7149	20010829
ZA 2002007445	A	20031013	ZA 2002-7445	20020917
PRIORITY APPLN. INFO.:			US 1999-169856P	P 19991209
			WO 2000-US30180	W 20001204

AB The selective cyclooxygenase-2 inhibitory drug **celecoxib** is provided in **amorphous** form. Also provided is a **celecoxib** drug substance wherein the **celecoxib** is present, in at least a detectable amount, as **amorphous celecoxib.** Also provided is a **celecoxib**-crystallization inhibitor composite comprising particles of **amorphous celecoxib** or a **celecoxib** drug substance of the invention in intimate association with one or more crystallization inhibitors, for example polymers. Also provided is a pharmaceutical composition comprising such a **celecoxib**-crystallization inhibitor composite and one or more excipients. Also provided are processes for preparing **amorphous celecoxib**, a **celecoxib** drug substance of the invention, a **celecoxib**-crystallization inhibitor composite of the invention, and a pharmaceutical composition of the invention. Also provided is a method of treating a medical condition or disorder in a subject where treatment with a cyclooxygenase-2 inhibitor is indicated, comprising administering, for example orally, a composition of the invention in a therapeutically effective amount

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	69.24	69.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.33	-15.33

STN INTERNATIONAL LOGOFF AT 16:36:49 ON 31 MAR 2005